



Description of DE3024901

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### Herbicides agents on basis of Piperidin derivatives

The instant invention concerns the use of to a large extent known 1 - and/or 6-substituierten 2-Hydroxymethyl-3,4,5-trihydroxy-piperidin-Derivaten (=N and/or 1-substituierten 1-Desoxy-nojirimycin derivatives) as herbicides.

It became already known that that pharmacological effective 2-Hydroxymethyl-3,4,5-trihydroxy-piperin (=1-Desoxy-nojirimycin) of the formula

EMI4.1

(see. DE-OS 26 56 602 also an herbicidal activity exhibits (see. Verff. JP-Patentanmeldung No.

55-7224). The 1-Desoxy-nojirimycin is however only a relatively weak herbicide, which in particular does not show satisfactory effect against certain important weeds.

In addition it is known the fact that certain other 3,4,5 - Trihydroxy piperidin derivatives as drug used to become to be able (see. DE-OS 27 58 025; off. EP patent application No. 0,000 947). Eineherbizide efficacy of these compounds is not however described.

It was now found that the 2-Hydroxymethyl of 3,4, 5 - trihydroxy piperidin derivatives of the general formula  
EMI5.1

in which g 1 for alkyl with more than 4 carbon atoms, alkenyl, Alkadienyl, Alkinyl, Hydroxyalkyl and the grouping - X-R3 stands, whereby X stands for for alkyls or Alkenylen and R for if necessary substituted aryl, if necessary substituted Aryloxy, if necessary substituted Arylmer capto, if necessary substituted

Pyridyl, Alkoxy, Alkoxyalkoxy, alkyl thio, Amino, Hydroxycarbonyl, gege benenfalls substituted Cycloalkyl and if necessary substituted

Cycloalkenyl stands, for g 1 also for hydrogen or alkyl with 1 to 4 carbon atoms stands, if R2 stands for another remainder than hydrogen,

R2 for hydrogen, Cyano, Hydroxy, Hydroxy methyl, Hydroxysulfonyl, Aminomethyl,

Alkylaminomethyl, Hydroxycarbonyl,

Alkoxycarbonyl as well as the groupings - CO-NH-R4, - CH " - NH-CO-R5, - CH2-NH-SO-R5 - CH2-NH-CO (S) - NH-R5 and - CH2-NH-CO-OR5 stands, how

R4 for hydrogen, alkyl or given if substituted Aralkyl stands, and

5

R for alkyl, if necessary substituted

Aryl, if necessary substituted

Stands for Aralkyl, cyanogen alkyl, aminoalkyl or halo towards alkyl, good herbicides properties exhibit.

The compounds of the formula (I) can be present if necessary as geometric and/or optical isomers.

The instant invention covers both single isomers and the isomeric mixtures.

Surprisingly useful the according to invention 2-Hydroxymethyl-3m4m5-trihydroxy-piperidin-Derivate of the formula (I) shows a significant higher herbicidal activity than the 1-Desoxy-nojirimycin known from the state of the art, which chemical and the effect-moderate nearest compound are. The use according to invention of the fabrics of the formula (I) represents thus an enriching of the technology.

Useful the according to invention 2-Hydroxymethyl-3,4,5trihydroxy-piperidin-Derivate is general defined by the formula (I). In this formula g 1 preferably stands for straight or branched alkyl with 5 to 18 carbon atoms, alkenyl with 2 to 12 carbon atoms, Alkadienyl with 4 to 8 carbon atoms, Alkinyl with 2 to 6 carbon atoms, hydroxyalkyl with 1 to 6 carbon atoms and 1 to 3 hydroxy groups, as well as for the grouping - for X-R3. In addition g 1 also preferably stands for hydrogen or alkyl with 1 to 4 carbon atoms, if R2 stands for another remainder than hydrogen.

X preferably stands for a straight or branched alkylene chain with 1 to 12 carbon atoms or a straight or branched alkenyl chain with 2 to 12 carbon atoms.

R preferably stands for gegenenfalls substituted aryl, Aryloxy and Arylmercapto with in each case 6 to 10 carbon atoms, whereby as substituents preferably mentioned is: Halogen, alkyl with 1 to 4 carbon atoms, halogen alkyl with 1 to 2 carbon and 1 to 5 same or various halogen atoms, Alkoxy, Alkylthio and alkyl sulphonyl with ever 1 to 4 carbon atoms, Hydroxy, Cyano, Nitro, Amino' Alkylamino, Dialkylamino and Alkylcarbonylamino with in each case 1 to 2 carbon atoms for each alkyl radical, Hydroxycarbonyl (- COOH), Alkoxycarbonyl with 1 to 4 carbon atoms in the alkyl radical, as well as if necessary by halogen substituted Phenyl, Phenoxy and benzyle.

R3 stands further preferably for if necessary Pyridyl, for Alkoxy, substituted by halogen and alkyl with 1 to 2 carbon atoms, Alkoxy alkoxy and for Alkylthio with 1 to 4 carbon atoms for each alkyl part, Amino' Hydroxycarbonyl, Alkoxycarbonyl with 1 to 4 carbon atoms in the alkyl part, as well as for if necessary by alkyl with 1 to 4 carbon atoms substituted Cycloalkyl and Cycloalkenyl with in each case 5 to 7 carbon atoms.

▲ top

R2 preferably stands for hydrogen, Cyano, Hydroxy, Hydroxymethyl, Hydroxysulfonyl, Hydroxycarbonyl, Aminomethyl, Alkylaminomethyl with 1 to 4 carbon atoms in the alkyl part, Alkoxy carbonyl with 1 to 4 Kohlenstoffatomen, as well as the groupings - CO-NH-R4, - for CH2-NH-CO-R5, - CH2-NH-SO2R%, - CH2-NH-CO(S) - NH-R5 and - CH2-NH-CO-OR5.

R4 preferably stands for hydrogen, alkyl with 1 to 4 carbon atoms, as well as for if necessary substituted Aralkyl with 6 to 10 carbon atoms in the aryl moiety and 1 to 4 carbon atoms in the alkyl part, whereby as aryl substituents preferably already above the Substituenten inffage mentioned with the definition of the remainder R3 comes.

R5 preferably stands for alkyl with 1 to 12 carbon atoms, cyanogen alkyl and aminoalkyl with 1 to 12 carbon atoms for each for alkyl part, halogen alkyl with 1 to 4 carbon and 1 to 5 same or various halogen atoms, as well as for if necessary substituted aryl and Aralkyl with in each case 6 to 10 carbon atoms in the aryl moiety and 1 to 4 carbon atoms in Alkyl part, whereby as substituents preferably already above the substituents inffage mentioned with the definition of the remainder R3 come.

Bottom halogens is chlorine and fluorine to be understood preferably in each case.

Those active ingredients which can be used according to invention are partial known (see. EP 0,000,947), partly are them subject-matter of own older patent applications (see. the German patent applications P 29 25 943,6 and P 30 07 078,1). The compounds of the formula (I) can become after the there indicated methods prepared. Thus compounds of the formula (I) with R2=OH become obtained, by one in compounds of the formulas (II) or (IIa)

EMI9.1

in those g 1 the indicated above importance has to intercept by careful acid hydrolysis the Isopropylidenoder Cyclohexylidenschutzgruppen remote, whereby it is convenient if necessary, the compounds of the formula (I) with R2 = OH in the form of adducts of the sulfurous acidic ones or prussic acid, formed by ring extension, (R2 = - OSO2H or CN). From the Bisulfitadditionsprodukten (i.e. acidic sulfurous acidic esters) the compounds of the formula (I) with R2 = OH become by treatment with bases, preferably alkaline-earth hydroxides like approx. (OH) 2 or Sr (OH) 2, in particular however Ba (OH) 2, in freedom set. By conversion with hydrogen Donor-reducing agents, as for example NaBH4, become from the compounds of the formulas (I) with R2=OH the compounds of the formula (I) with R2=H recovered.

Certain compounds of the formula (I) can become also obtained, if one the compounds of the formula (I) with R2=OH in actual known manner with prussic acid to compounds of the formula (I) with R = CN converts and if necessary from these by catalytic hydrogenation of the nitrile group compounds with R2= - CH2NH2 manufacutes, and the amino group if necessary in actual known manner to compounds, 5 with those R = - CH2-NH-Co-R5 or alkylamine is, acylated, sulfonyliert, alkylated, and/or. with chlorine carbonic acid esters, isocyanates or Senfölen derivati siert.

The compounds of the formula (I), with those R = - COOH is, becomes obtained, by one compounds of the formula (I) with R2= - CN in actual known manner hydrolyzed. From the so obtained carbonic acids compounds of the formula (I) with R2= - COOAlkyl by conversion with corresponding alcohols, compounds of the formula (I) with R2= - leave themselves to CONHR4 by Aminolyse of the esters with amines 4 of the general formula R - NH2 obtained in actual known manner.

N-substituted compounds of the formula (I) with R2=H become also obtained, if one the compound of the formula (III), i.e. 1-Desoxy-nojirimycin,

EMI11.1

either with aldehydes of the formula

O = CH - g 1 (IV) in which

G 1 the indicated above importance has, in presence of a hydrogen Donor-reducing agent converts, or with reactive alkylating agents of the formula Z-R1 (V) in which

G 1 the indicated above importance has and

Z for halogen or - OSO3-Gruppe is located, in conventional manner converts. In place of the compounds of the formula (V) also different reactive alkylating agents can, like e.g. Ethylene oxide, used become.

Other details to the various. Procedures know that off. EP-patent application No.

0,000,947 as well as the subsequent manufacture with plays removed becomes.

The starting products of the formulas (II), (I), (III), (IV) and (V) are general known compounds of the organic chemistry, and/or. they and their preparation are in the EP-patent application No. 0,000,947 described.

Production examples: Example 1

EMI13.1

90.0 g 1-Desoxynojirimycin (A) became in 450 ml H2O dissolved and saturated with 50C with CO2. The mixture became 20 hours with 200C agitated, then and again with CO2 saturated cooled on 5 °C. 27.97 g ethylene oxide became liquid weighed and added in a casting.

The reaction mixture became 30 minutes with 50C to 100C agitated, then and 6 hours heated within 30 minutes on 500C with 50 C agitated. After other 20-hour agitation with 200C one regenerated. The reaction mixture became at the rotary evaporator concentrated, the residue became with 2-Methoxyethanol simmering heated and with Setivkohle clarified. One let the product crystallize with 200C. It was washed afterwards aspirated, with 2-Methoxyethanol, then with ethanol and dried. The so obtained 84.2 g n (ss-Hydroxyethyl) - 1-desoxynojirimycin with a melting point of 144-145,50C were recrystallized from 90%igem ethanol. Yield at n (ss-Hydroxy ethyl) - 1-desoxynojirimycin (1) 78.3 g with a melting point of 147-1490C.

The compound (1) can do also as 1 (B-Hydroxyethyl) - 2 - hydroxymethyl-3,4,5-trihydroxy-piperidin referred become.

Preparation of the starting product

EMI14.1

A solution of 2 g 5-Amino-5-desoxy-1,2-isopropyliden α-D-glucofuranose in 8 ml 2 n hydrochloric acid becomes 24 hours agitated. It is verdSintt with 5 ml waters and after addition of 0,69 g triethylamine and 0.3 g Raney nickel 5 hours at 3,5 bar of hydrogenated. It becomes concentrated concentrated in the vacuo of the catalyst filtered and still twice in each case after addition of little ethanol, whereby crystallization occurs. The crystals are mixed with ethanol, aspirated and good with ethanol washed. One receives 1-Desoxxy-nojirimycinhydrochlorid (A) from the melting point 209-2100C bottom decomposition to 1.45 g (79.7% of the theory).

From the hydrochloride the free base becomes obtained in conventional manner.

Example 2

▲ top EMI15.1

To 7.4 g 1-Desoxynojirimycin in 150 ml to methanol and 6.7 ml glacial acetic acid gives one 17 ml 10-Undecenol and 3 g sodium

cyanogen boron hydride (NaCNBH<sub>3</sub>). One agitates 2 hours with room temperature. Subsequent one becomes the reaction mixture on one with strrk acidic ion exchanger (H@-Form) filled column aufgeteagen. It becomes first with methanol/Wasser=2: 1, subsequent with ethanol/6%-igem Ammoniak=2: 1 eliminated. The ammoniakalische eluate becomes concentrated. The residue becomes from water crystallized. Yield: 11.7 g N-Undecen-10-yl1-dideoxy-nojirimycin (2) of the melting point 144-1460C.

Example 3

EMI15.2

To 200 ml waters and 21.2 g Ba (OH) one gives 17.5 g Nojirimycinbisulfitaddukt to 2 x H<sub>2</sub>O. One agitates an hour with room temperature and sucks the solid off.

The filtrate staggered one prussic acid liquid with 12 ml and lets 1/2 hour agitate. The solution becomes again filtered and at the rotary evaporator up to 20 ml concentrated. One staggered first with 20 ml methanol, whereby the desired product begins to crystallize, and the completed crystallization by addition of 100 ml ethanol. The precipitation becomes aspirated.

Yield: 12.0 g 1-Cyano-1-desoxynojirimycin (3) of the melting point 152-1530C. After recrystallization from methanol and little water the substance melts with 155-1560C.

Example 4

EMI16.1

5 g 1-Cyano-1-desoxynojirimycin (example 3) become into 100 ml waters with 10 g Raney nickels as catalyst an hour with 3,5 atmospheres H<sub>2</sub>-Druck in a vibration pear hydrogenated. Then will of the catalyst aspirated and the solution becomes at the rotary evaporator to dry brought. The residue will in little simmering methanol received, the solution again becomes filtered and dry brought. The residue becomes out approx. 15 ml methanol recrystallizes.

Yield: 3.4 g 1-Aminomethyl-1-desoxynojirimycin (4) of the melting point 148-1500C. After renewed crystallization from methanol the melting point rises to 154-1550C.

Example 5

EMI17.1

To 6.42 g 1-Aminomethyl-1-desoxynojirimycin in 100 ml methanol and 20 ml waters drips one with -75 C 5.06 ml 6-Isocyanatohexansäurenitril too. It becomes an half hour with -75 C agitated. Then one lets slow warm up to room temperature (3 hours). The reaction solution becomes concentrated and the residue from methanol crystallized.

Yield: 4.8 g 1 (N'-5-Cyano-pentylureidomethyl) - 1desoxynojirimycin (5) of the melting point 160-165 C.

Example 6

EMI17.2

The preparation made in analogy to example 2.

Melting point: 162 C Example 7

EMI18.1

To 0.8 mol Desoxynojirimycin and 1.12 mol potassium carbonate in 1,3 one gives 1 dimethylformamide bottom agitations with room temperature 1.12 mol Sorblybromid. The temperature rises to 400C. One lets 2.5 hours after-agitate with room temperature, sucks the failed salts, takes up the filtrate in 2000 ml to waters off and extracted it twice with ever 500 ml ethers. The Dimethyl form amide/water phase is mixed in the vacuo concentrated, the residue with 1,4 1 acetone and the failed solid aspirated. This will become then with 1,5 1 ethanol expenditure-cooked and the residual salts filtered. The final product crystallized out, is recrystallized aspirated and from water (14 ml waters on 10 g product). One receives -1 - desoxynojirimycin (7) from the melting point 172-1730C in 30%-iger yield n (Hexa-2, 4-dienyl).

In analogous manner and the corresponding indicated procedure ways the compounds of the formula (I) of the subsequent table become 1 obtained: Table 1

EMI19.1

EMI19.2

<tb>  
 <tb> <September> Physical one  
 <tb> <September> COMPUTER CENTRE <SEPTEMBER> R2 <September> Constant one  
 <tb> 8 <September> H <SEPTEMBER> Fp: 111-13 <September> Degrees  
 <tb> 8 <September> - CH<sub>2</sub> (CH<sub>2</sub>) 5-CH<sub>3</sub> <September> H <SEPTEMBER> Fp: <September> 13 C  
 <tb> 9 <September> - CH<sub>2</sub> <SEPTEMBER> to <September> H <SEPTEMBER> Fp: 183-640C  
 <tb> 10 <September> - CH<sub>2</sub> <SEPTEMBER> < <September> H <SEPTEMBER> Fp: 174-750C  
 <tb> 11 <September> - CH<sub>2</sub>-CH (OH) - CH<sub>2</sub>OH <SEPTEMBER> H <SEPTEMBER> m/e=206,176  
 <tb> 12 <September> - CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-NH<sub>2</sub> <SEPTEMBER> H <SEPTEMBER> m/e=189,146  
 <tb> 13 <September> - CH<sub>2</sub>-COOH <September> H <SEPTEMBER> Fp: 187-880C  
 <tb> 14 <September> Q2N <SEPTEMBER> D <SEPTEMBER> H <SEPTEMBER> Rf-Wert=0,85  
 <tb> 15 <September> - CH<sub>2</sub> <SEPTEMBER> g <September> H <SEPTEMBER> Rf-Wert=0,7\*  
 <tb> <September> HOOC  
 <tb> 16 <September> CH<sub>2</sub> <SEPTEMBER> zuCOOH <September> H <SEPTEMBER> Fp: 280-810C  
 <tb> 17 <September> - CH<sub>2</sub>-CH<sub>2</sub> <SEPTEMBER> e <September> H <SEPTEMBER> Fp: 179-810C  
 <tb> 18 <September> - (CH<sub>2</sub>) 5-CH <September> H <SEPTEMBER> Fp: 112-130C  
 <tb> 19 <September> - (C) 7-CH<sub>3</sub> <September> H <SEPTEMBER> Fp: 115-170C  
 <tb> 20 <September> - (CH; <September> ) 8 <September> - CHs <September> H <SEPTEMBER> Fp: 105-070C  
 <tb>  
 EMI20.1

<tb> Bsp <September> . <September> G 1 <September> R2 <September> Physical one  
 <tb> NR <September> . <September> Konstarlte  
 <tb> 21 <September> - <September> ( <September> CH<sub>2</sub> <SEPTEMBER> ) <September> 9 <September> - CH<sub>3</sub>  
 <SEPTEMBER> H <SEPTEMBER> Fp: <September> 151 <September> C  
 <tb> 22 <September> - <September> (CH<sub>2</sub> <SEPTEMBER> ) 11-CH<sub>3</sub> <September> H <SEPTEMBER> Fp: 1640C  
 ▲ top <tb> 23 <September> - (CH<sub>2</sub> <SEPTEMBER> ), 3-CH<sub>3</sub> <September> H <SEPTEMBER> Fp: 105-070C

<tb> 24 <September> - (cH2) 4-CH29H <September> H <SEPTEMBER> Fp: 86-870C  
 <tb> 25 <September> - CH2 <SEPTEMBER> Q <SEPTEMBER> H <SEPTEMBER> Fp: <September> Fp: 138-400C  
 <tb> 26 <September> cHa <September> t <September> H <SEPTEMBER> Fp: 142-440C  
 <tb> 27 <September> - CH2 <SEPTEMBER> m <September> H <SEPTEMBER> Ep: 160-620C  
 <tb> 28 <September> KHz <September> C <SEPTEMBER> O) - C <SEPTEMBER> H <SEPTEMBER> Fp <September> : 153-55  
 <September> " <September> C  
 <tb> 29 <September> - CH, <SEPTEMBER> IO) <September> H <SEPTEMBER> Fp: 134-36 C  
 <tb> 30 <September> - CH2 <SEPTEMBER> to <September> H <SEPTEMBER> Fp: 240-450C  
 <tb> 31 <September> - cH2CH2CH2 <September> H <SEPTEMBER> H <SEPTEMBER> Fp: 125-270C  
 <tb> 32 <September> - CH2-CH=C112 <SEPTEMBER> H <SEPTEMBER> Fp: 131-320C  
 <tb> 33 <September> - CH2 <SEPTEMBER> - CH <SEPTEMBER> H <SEPTEMBER> Fp: 1600C  
 <tb> 34 <September> Cha <September> vC1 <September> H <SEPTEMBER> Fp <September> H <SEPTEMBER> : 130-32 C  
 <tb> 35 <September> - CH2 <SEPTEMBER> tNo2 <September> H <SEPTEMBER> Fp: 144-460C  
 <tb> 36 <September> - CH, <SEPTEMBER> - <September> H <SEPTEMBER> Fp: 168-700C  
 <tb> 37 <September> ch3 <September> - CN <SEPTEMBER> m/e: 171,157,144  
 <tb> 38 <September> H <SEPTEMBER> - COOH <SEPTEMBER> Fp: 267-700C  
 <tb> 39 <September> H <SEPTEMBER> - COOC2 <SEPTEMBER> H5 <September> Oil  
 <tb> 40 <September> - <September> - COOC2 <SEPTEMBER> H5 <September> m/e=218,200,176  
 <tb> 41 <September> H <SEPTEMBER> - CONH2 <SEPTEMBER> Fp: 175-760C  
 <tb> 42 <September> H <SEPTEMBER> - CO-NH-CH2 <SEPTEMBER> < ) <September> Afp <September> : <September> 221-220C  
 <tb> 43 <September> CH3 <SEPTEMBER> - CO-NH-CH2 <SEPTEMBER> Fp: 229-300C  
 <tb> 44 <September> H <SEPTEMBER> - CH2-NH-CO-CH3 <SEPTEMBER> Fp: 168-710C  
 <tb>  
 EMI21.1

<tb> Ex. <September> G 1 <September> R2 <September> Physical one  
 <tb> NR <September> Constant one  
 <tb> 45 <September> - CH3 <SEPTEMBER> - CH2-NH-CO-CH3 <SEPTEMBER> m/e: 176,158  
 <tb> 46 <September> H <SEPTEMBER> - CH2-NH-CO <SEPTEMBER> p: 2160C  
 <tb> 47 <September> - CH3 <SEPTEMBER> - CH2-NH-CO <SEPTEMBER> p: 135-360C  
 <tb> 48 <September> H <SEPTEMBER> - CH2-NH-SO2 <SEPTEMBER> O <SEPTEMBER> - CH3 <SEPTEMBER> Fp: 173-75 C  
 <tb> 49 <September> ch3 <September> - CHNH-SQ-OO-CH3Fp: 218-190C  
 <tb> 50 <September> H <SEPTEMBER> - CH2-NH-CO-NH <SEPTEMBER> O <SEPTEMBER> Fp: 161-620C  
 <tb> 51 <September> H <SEPTEMBER> - CH2OH <SEPTEMBER> m/e: 162  
 <tb> 52 <September> - CH2CH2OCH3 <SEPTEMBER> H  
 <tb> 53 <September> - CH2CH2-SCH3 <SEPTEMBER> H <SEPTEMBER> m/e: 220,206,176  
 <tb> 54 <September> - CH2CH2-SC2H5 <SEPTEMBER> H  
 <tb> 55 <September> - CH2 <SEPTEMBER> OH2 <SEPTEMBER> OCH2 <SEPTEMBER> OH2 <SEPTEMBER> STILL,  
 <tb> 56 <September> - (CH2) <SEPTEMBER> 8-ch3 <September> - CH2 <SEPTEMBER> - NH-COCH3 <SEPTEMBER> mXe:  
 329,288  
 <tb> \*  
 <tb> 57 <September> H <SEPTEMBER> - CH2-NH (CH2 <SEPTEMBER> ) 8 <September> Rf-value: 0,52\*  
 <tb> <September> CH3  
 <tb> 58 <September> - CH2CH2-O <SEPTEMBER> < <September> H <SEPTEMBER> FpH <September> Fp: 140 C  
 <tb> 59 <September> - (KHz) 5-O <September> H <SEPTEMBER> Fp: 138-39 C  
 <tb> 60 <September> - (CH2) \*CO <SEPTEMBER> O <SEPTEMBER> H <SEPTEMBER> Fp: 1100C  
 <tb> 61 <September> CH3 <SEPTEMBER> ) <September> H <SEPTEMBER> Fp: 155-56 C  
 <tb> CH,  
 <tb> <September> CH3  
 <tb> 62 <September> - CH2CH2CH2-O <SEPTEMBER> W <SEPTEMBER> H <SEPTEMBER> Fp: 128 C  
 <tb> <September> EAR  
 <tb> <September> Cl  
 <tb> 63 <September> - CH2CH2-O <SEPTEMBER> -0-0-01 <September> H <SEPTEMBER> Fp: 175-76 C  
 <tb> 64 <September> - (OH2) 4-0-Oo <September> H <SEPTEMBER> Fp: 152 C  
 <tb> 65 <September> - CH2-CH=CH-CH2-o <September> to <September> H <SEPTEMBER> Fp: 1200C (xH, O)  
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 163-66 C  
 <tb> 67 <September> - CH, <SEPTEMBER> - CH-CH-CH, <SEPTEMBER> -9 <September> H <SEPTEMBER> H <SEPTEMBER>  
 Resin  
 <tb> <September> OOC2H5  
 <tb>  
 EMI22.1

<tb> Ex. <September> G 1 <September> R2 <September> Physical one  
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 <tb> 68 <September> - CH2CH2-O <SEPTEMBER> O <SEPTEMBER> - OCH3 <SEPTEMBER> H <SEPTEMBER> Fp: 175-78 C  
 <tb> 69 <September> OH2 <SEPTEMBER> OH2 <SEPTEMBER> -0-Go <September> -01 <September> H <SEPTEMBER> Fp:  
 156-57 C  
 <tb> 70 <September> -OH2OH2-0-QO-CN <September> H <SEPTEMBER> Fp: 125 C  
 <tb> 71 <September> - CH2CH2-O <SEPTEMBER> H <SEPTEMBER> H <SEPTEMBER> Fp: 132-340C  
 <tb> 72 <September> - CH2CH2-S <SEPTEMBER> H <SEPTEMBER> H <SEPTEMBER> Fp: 121-230C  
 <tb> 73 <September> - CH2CHz-S <September> - s (n7 <September> - CH3 <SEPTEMBER> H <SEPTEMBER> ~ <September>  
 Fp: 126-27 C  
 <tb> <September> OH3  
 <tb> 74 <September> - CH2-CH=CH-CH2-S <SEPTEMBER> H <SEPTEMBER> Fp: 106C  
 ▲ top <tb> 75 <September> - CH2-CH=qH-OH2-SO1 <September> H <SEPTEMBER> Fp: 93-9T " C

<tb> 76 <September> - CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-- <September> H <SEPTEMBER> Fp <September> :: 138-40 <September> 0  
 <September> C  
 <tb> <September> I  
 <tb> <September> CtCH<sub>3</sub>) 3  
 <tb> 77 <September> - CH, <SEPTEMBER> - CH=CH-CH, <SEPTEMBER> -9 <September> H <SEPTEMBER> Fp: <September> 2830C  
 <tb> <September> X  
 <tb> <September> tH3  
 <tb> 78 <September> - CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-O <SEPTEMBER> O < <September> H <SEPTEMBER> Fp: 165-69 C  
 <tb> 79 <September> - CH<sub>2</sub> (CH=CH) 2-C2H5 <September> H <SEPTEMBER> Fp: 135-37 C  
 <tb> 80 <September> - CH<sub>2</sub>-CH=CH-CH<sub>3</sub> <SEPTEMBER> H <SEPTEMBER> Fp: 120-23 C  
 <tb> 81 <September> - CH<sub>2</sub>-CH=CH <SEPTEMBER> H <SEPTEMBER> Fp: 112-18 C  
 <tb> <September> C (CH<sub>3</sub> <SEPTEMBER> ) 2-0H2  
 <tb> <September> C~Hg t  
 <tb> \* Rf-values certain on DC finished plates of the company  
 Merck, silica gel 60; Flow material: Ethyl acetate/Methanol/H<sub>2</sub>O/25% ige wässr. Ammonia = 100/60/40/2 (volume parts). - To.  
 Comparison: Rf-value. of 1-Des oxynojirimycin (A) - = 0.3.

The active ingredients according to invention affect the Po one zenwachstum and can therefore as Defoliants, Desiccants, herb killing means, germ inhibition means and as weed killer used become in particular. Bottom weeds in the broadest sense all plants are to be understood, which grow up at loci, where they are undesirable. Whether the fabrics according to invention work as total or selective herbicides, essentially depends on the applied amount.

The active ingredients according to invention can e.g. with the subsequent plants used become: Dikotyle of weeds of the genera: Sinapis, Lepidium, Galium, Stellaria, Matricaria, Anthemis, Galinsoga, Chenopodium, Urtica, Senecio, Amaranthus, Portulaca, Xanthium, Oonvolvulus, Ipomoea, Polygonum, Sesbania, Ambrosia, Cirsium, Carduus, Sonchus, Solanum, Rorippa, Rotala, Lindernia, Lamium, Veronica, Abutilon, Emex, Datura, Viola, Galeopsis, Centaurea.

Monokotyle of weeds of the genera: Echinochloa, Setaria, Panicum, Digitaria, Phleum, Poa, Festuca, Eleusine, Brachiaria, Lolium, Bromus, Avena, Cyperus, sorghum, Agropyron, Cynodon, Monochoria, Fimbristylis, Sagittaria, Eleocharis, Scirpus, Paspalum, Ischaemum, Sphenoclea, Dactyloctenium, Agrostis, Alopecurus, Apera.

Monokotyle cultures of the genera: Oryza, Zea, Triticum, Hordeum, Avena, Secale, sorghum, Panicum, Saccharum, pineapple, Asparagus, Allium.

The use of the active ingredients according to invention is however by no means on these genera limited, but extended in same way also on other Po one zen themselves.

The compounds are e.g. suitable in dependence of the Kon zentration for the total weed control. on Industrieund railway tracks and at pathways and places with and without tree vegetation. Just as the compounds can for weed control in continuous cultures e.g. Forest, ornamental shrub, fruit, manner, Citrus--, Nut, banana, coffee, dte, rubber, belpalm, cocoa, potato berry and hop plants and for selective weed control in one year's Kul doors used become.

The active ingredients according to invention can find as such or in their formulations also in mixture with known herbicides for weed control use, whereby formulation of finished or tank mixture is possible.

The active ingredients can become into the conventional formulations converted, like solutions, emulsions, suspensions, powder, foams, pastes, granulates, active ingredient iPrägnierte nature and synthetic fabrics and purifying encapsulations in polymere fabrics.

These formulations become in known manner herge place, e.g. by mixing the active ingredients with putting means, thus liquid solvents and/or solid inertial materials, if necessary using surface-active agents, thus emulsifying agents and/or dispersing agents and/or foam-producing agents.

In case of the use of water as extenders can e.g. also organic solvents as auxiliary solvent used become. As liquid solvents essentially come into question: Aromatics, like xylene, toluene, or alkyl naphtha LINE, chlorinated aromatics or chlorinated aliphatic hydrocarbons, like chlorobenzenes, Chloroethylene or methylene chloride, aliphatic hydrocarbons, like cyclohexane or paraffins, e.g. Petroleum fractions, alcohols, like Butanol or glycol as well as their ether and ester, Ketone, like acetone, methyl ethyl ketone, methyl isobutyl ketone or Cyclohexanon, strong polar solvents, like dimethylformamides and dimethylsulfoxide, as well as water.

As solid inertial materials come into question: Z. - B. natural powdered minerals, like Kaoline, aluminas, talcum powder, chalk, quartz, attapulgite, montmorillonite or diatomaceous earth and synthetic powdered minerals, like high-disperse silicic acid, alumina and silicates; as solid inertial materials for granulates come into question: e.g.

broken and fractional natural rocks such as Calcit, marble, pumice, sepiolite, dolomite as well as synthetic granulates from inorganic and organic flours as well as granulates from organic material such as saw flour, coconut bowls, ear of corn and tobacco stack; as emulsify and/or foam-producing agents come into question: e.g. nictionogene and anionic emulsifiers, like Polyoxyethylen fatty acidester, Polyoxyethylen fatty alcoholether, e.g. Alkylarylpolyglykol ether, alkyl sulfonates, Alkylsulfate, Arylsulfonate as well as EiweiShydrolysate; as dispersing agents come into question: e.g. Lignin Sulfitablaugen and methyl cellulose.

Adhesives can become such as carboxymethyl cellulose, natural and synthetic powdery, granular or latexförmige polymers used, like Gummiarabicum, Polvinyalkohol, polyvinyl acetate in the formulations.

Dyes can do such as inorganic pigments, e.g.

Iron oxide, titanium oxide, ferrous cyan blue and organic dyes, like alizarine, Azol, Metallphthalocyaninfarbstoffe and Spurennärlirstoffe such as salts of irons, manganese, boron, copper, cobalt, molybdenum and zinc used become.

The formulations contain generally between 0,1 and 95 weight percentage active ingredient, preferably zwischan 0.5 and 90%.

Useful the according to invention active ingredients can find as such or in their formulations also in mixture with known herbicides to the Unkraubekämpfung use, whereby formulation of finished or tank mixture is possible. Also a mixture with other known active ingredients, like fungicidal one, insecticides, Akariziden, Nematiziden, protective agents bird-ate approximately, from stature

▲ top materials, plant nutrients and soil structure improvement averages is possible.

The active ingredients can become as such, in form of their formulations or the embodiments, like ready for use solutions, prepared from it by other diluting, suspensions, emulsions, powders, pastes and granulates applied. The application happens in conventional manner, e.g. by pouring, syringes, spraying, litters.

The active ingredients according to invention can become both before and after accumulating the plants applied.

The application becomes preferably made before accumulating the plants, thus in the pre emergence method.

They can become also before the seed into the soil incorporated.

The spent active substance quantity can vary in larger ranges. It essentially depends on the type of the qewtlnschten effect. Generally the application rates lie between 0,1 and 5G kg active ingredient per hectare, preferably between 1 and 40 kg/ha.

Use examples Pre emergence test: In dishes, which are with vermiculites filled, seeds become. of Lepidium (LEPSA), Echinochloa (ECHCG), Stellaria (STEME), Portulaca (POROL) and Poa (POAAN) construed. The dishes. become then with a Hoagland broth poured, that the active ingredients according to invention and the known compound (A) in certain amounts added. are. After 2 weeks the damage degree of the plants Xt comparison is bonitiert to the untreated plants. Mean: 0 % = no effect (like untreated control);

100% 3 total destruction, H = inhibition.

Active ingredients, application rates and results come out from the subsequent table 2.

As comparison means the known compound serves (A) of the formula:

EMI28.1

2-Hydroxymethyl-3,4,5-trihydroxy-piperidin (=1-Desoxynojirimycin). Table 2 Pre emergence test/greenhouse of active ingredients effort % killing (see. Herstel mixes Lepidium Echinochloa Stellaria Portulaca Poa lungsbeispiele) kg/ha (A) 40,100 0 0 30/H 30/H (1) 40,100 70 40 100,100 (2) 40,100 85 80 100 95 (5) 40 85 0 20/H 20/H 50/H (6) 40 85 40/H 80 40/H 20/H (7) 40 80 40/H 0 0 80